```
 * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:37:44 ON 12 MAY 2005

=> file ca


=> s cox-2 or cyclooxygenase-2
         15242 COX
       8124254 2
          7696 COX-2
                 (COX(W)2)
         21668 CYCLOOXYGENASE
       8124254 2
          7604 CYCLOOXYGENASE-2
                 (CYCLOOXYGENASE(W)2)
L1         9993 COX-2 OR CYCLOOXYGENASE-2

=> s 5-lo or 5-lipoxygenase
       5699214 5
          9944 LO
           621 5-LO
                 (5(W)LO)
       5699214 5
         15626 LIPOXYGENASE
          4765 5-LIPOXYGENASE
                 (5(W)LIPOXYGENASE)
L2         4837 5-LO OR 5-LIPOXYGENASE

=> s aspirin or tylenol
         18225 ASPIRIN
            60 TYLENOL
L3         18278 ASPIRIN OR TYLENOL

=> s l1 and l2 and l3
L4           30 L1 AND L2 AND L3

=> s l4 and py<1997
      17211693 PY<1997
L5            0 L4 AND PY<1997

=> d 30 l4 ibib abs
```

L4 ANSWER 30 OF 30 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 127:229352 CA
TITLE: Evaluation of the anti-inflammatory activity of a dual cyclooxygenase-2 selective/5-lipoxygenase inhibitor, RWJ 63556, in a canine model of inflammation
AUTHOR(S): Kirchner, T.; Argentieri, D. C.; Barbone, A. G.; Singer, M.; Steber, M.; Ansell, J.; Beers, S. A.; Wachter, M. P.; Wu, W.; Malloy, E.; Stewart, A.; Ritchie, D. M.
CORPORATE SOURCE: The R.W. Johnson Pharmaceutical Research Institute, Raritan, NJ, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1997), 282(2), 1094-1101
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Sterile perforated polyethylene spheres (wiffle golf balls) were implanted s.c. in beagle dogs. A local inflammatory reaction was elicited within the spheres by injecting carrageenan. Changes in leukocyte count, prostaglandin E2, thromboxane B2 and leukotriene B4 levels were monitored in fluid samples collected over a 24-h period. Blood samples were also collected at various time points and analyzed for prostaglandin E2 and leukotriene B4 production after ex vivo calcium ionophore treatment. Effects of standard anti-inflammatory agents (aspirin, indomethacin, dexamethasone, tenidap and zileuton) and newer cyclooxygenase-2 (COX-2) selective agents (nimesulide, nabumetone and SC-58125) were determined after oral administration. Ex vivo inhibition of cyclooxygenase product synthesis (prostaglandin E2, thromboxane B2) in whole blood was used as an indicator of activity for the constitutive COX-1 isoform, although inhibition of the synthesis of these mediators in the chamber exudate during an inflammatory process is believed to represent COX-2 inhibition. Treatment effects on leukotriene B4 production were also determined both ex vivo in whole blood and in the fluid. All of the compds. tested, except aspirin, inhibited leukocyte infiltration into the fluid exudate. Inhibitors that exert their effects on both isoenzymes of cyclooxygenase attenuate production of cyclooxygenase metabolites in both the inflammatory exudate and in peripheral blood ex vivo, although COX-2 selective inhibitors only demonstrated activity in the exudate. A 5-lipoxygenase inhibitor (zileuton), a corticosteroid (dexamethasone) and a dual COX-2 selective/5-lipoxygenase inhibitor (RWJ 63556) had similar profiles in that they all inhibited cell infiltration and eicosanoid production in the fluid and also attenuated leukotriene B4 production in both the fluid and blood.

10/098,644

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 11:39:44 ON 12 MAY 2005

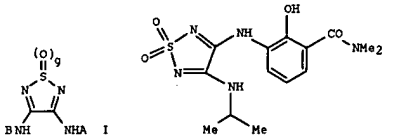
10/098,644

```
 * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 09:15:02 ON 12 MAY 2005

=> file reg

=> s cyclosporin
L1         1611 CYCLOSPORIN

=> file ca



=> s cox-2
          15242 COX
        8124254 2
L2          7696 COX-2
                 (COX(W)2)

=> s lipoxygenase
L3        15626 LIPOXYGENASE

=> s l1 or cyclosporin
          15573 L1
          14578 CYCLOSPORIN
L4        19125 L1 OR CYCLOSPORIN

=> s l2 and l3 and l4
L5            6 L2 AND L3 AND L4

=> d ibib abs 1-6
```

L5 ANSWER 1 OF 6 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:357355 CA
TITLE: Preparation of diaminothiadiazole dioxides and monoxides as CXC- and CC-chemokine receptor ligands
INVENTOR(S): Taveras, Arthur G.; Chao, Jianhua; Biju, Purakkattle J.; Yu, Younong; Fine, Jay S.; Hipkin, William; Aki, Cynthia J.; Merritt, J. Robert; Li, Ge; Baldwin, John J.; Lai, Gaifa; Wu, Minglang; Hecker, Evan A.
PATENT ASSIGNEE(S): Pharmacopeia, Inc., USA
SOURCE: PCT Int. Appl., 540 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004033440	A1	20040422	WO 2003-US31707	20031007
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004186142	A1	20040923	US 2003-680393	20031007
PRIORITY APPLN. INFO.:			US 2002-417371P P	20021009
OTHER SOURCE(S):	MARPAT 140:357355			

GI



I II

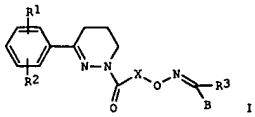
AB Disclosed are diaminothiadiazole mono- and dioxides (shown as I; e.g. II) and the pharmaceutically acceptable salts and solvates thereof. Examples of substituent A include heteroaryl, aryl, heterocycloalkyl, cycloalkyl, aryl, alkynyl, alkenyl, aminoalkyl, alkyl or amino; examples of substituent B include aryl and heteroaryl; g = 1, 2. Also disclosed is a method of treating a chemokine mediated diseases, such as, cancer, angiogenesis, angiogenic ocular diseases, pulmonary diseases, multiple

L5 ANSWER 1 OF 6 CA COPYRIGHT 2005 ACS on STN (Continued)
sclerosis, rheumatoid arthritis, osteoarthritis, stroke and cardiac reperfusion injury, acute pain, acute and chronic inflammatory pain, and neuropathic pain using I. Although the methods of prepn. are not claimed, hundreds of example prepns. and/or characterization data are included. For example, II was prepd. in 31% yield from the 4-methoxy analog and isopropylamine in the presence of DIEA in MeCH; the 4-methoxy analog was prepd. from the dimethoxy analog and N,N-dimethyl-3-amino-2-hydroxybenzamide in 99% crude yield. Antagonist activities of some examples of I towards CXCR1, CXCR2 and CCR7 are given.
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 6 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 140:27834 CA
TITLE: Preparation of pyridazinyloximes as phosphodiesterase IV inhibitors.
INVENTOR(S): Eggenweiler, Hans-Michael; Beier, Norbert; Schelling, Pierre; Wolf, Michael
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104205	A1	20031218	WO 2003-EP5173	20030516
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10225574	A1	20031218	DE 2002-10225574	20020610
BR 2003011311	A	20050215	BR 2003-11311	20030516
EP 1511737	A1	20050309	EP 2003-732395	20030516
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			DE 2002-10225574 A	20020610
			WO 2003-EP5173 W	20030516
OTHER SOURCE(S):	MARPAT 140:27834			

GI



I

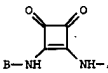
AB Title compds. [I; R1, R2 = H, OH, OR8, SR8, SOR8, SO2R8, halo; R1R2 = OCH2O, OCH2CH2O; R3 = H, AR7, COAR7, CO2AR7, CONH2, NH2, etc.; R7 = H, CO2H, NH2, OH, etc.; R8 = (substituted) alkyl, alkenyl, cycloalkyl, alkylenecycloalkyl, etc.; A = null, (O, S, SO, SO2, imino-interrupted) alkylene, alkenylene, cycloalkylene; B = (substituted) aryl, heteroaryl; X = (O, S, SO, SO2, imino-interrupted) alkylene], were prepared as phosphodiesterase IV inhibitors for treating osteoporosis, tumors, cachexia, atherosclerosis, rheumatoid arthritis, multiple sclerosis, diabetes mellitus, inflammatory processes, allergies, asthma, autoimmune diseases, myocardial diseases and AIDS (no data). Thus, 3-(3-ethoxy-4-methoxyphenyl)-5,6-dihydro-4H-pyridazine was treated sequentially with chloroacetyl chloride, N-hydroxyphthalimide,

L5 ANSWER 2 OF 6 CA COPYRIGHT 2005 ACS on STN (Continued)
ethanolamine, and 4-methoxybenzaldehyde to give 4-methoxybenzaldehyde O-[2-[3-(3-ethoxy-4-methoxyphenyl)-5,6-dihydro-4H-pyridazin-1-yl]-2-oxoethyl]oxime.
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 6 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 139:291990 CA
TITLE: Preparation of diaminocyclobutene-1,2-diones for combination treatments for chemokine-mediated diseases
INVENTOR(S): Taveras, Arthur G.; Billah, Motasim; Lundell, Daniel; Kreutner, William; Jakway, James; Fine, Jay S.; Bober, Loretta A.; Chao, Jianhua; Biju, Purakkattle; Yu, Younong
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 214 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003080053	A1	20031002	WO 2003-US8287	20030317
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2479126	AA	20031002	CA 2003-2479126	20030317
US 2004053953	A1	20040318	US 2003-390078	20030317
EP 1485089	A1	20041215	EP 2003-716685	20030317
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008739	A	20050111	BR 2003-8739	20030317
PRIORITY APPLN. INFO.:			US 2002-365314P	P 20020318
			WO 2003-US8287	W 20030317

OTHER SOURCE(S): MARPAT 139:291990
GI



B—NH NH—A I

AB Methods of treating chemokine-mediated diseases are disclosed. The methods comprise the administration of CXC-Chemokine receptor antagonists (shown as I; A = optionally substituted pyridinylalkyl, 1-oxopyridinylalkyl, thiazolylalkyl, etc.; B = optionally substituted Ph, benzotriazol-4-yl, benzimidazol-4-yl, etc.; e.g. 3-[[3-[(dimethylamino)carbonyl]-2-hydroxyphenyl]amino]-4-[[(R)-1-(5-methylfuran-2-yl)propyl]amino]cyclobutene-1,2-dione (II)), or pharmaceutically acceptable salts or solvates thereof, in combination with other classes of pharmaceutical compds. The chemokine-mediated diseases include acute and chronic inflammatory disorders, psoriasis, cystic fibrosis, asthma and cancer. Also disclosed are novel compds. I. Compds. I inhibit CXCR1 and CXCR2 chemokine receptors with IC50 <20 and <5 μM. The combination of suboptimal doses of II at 1 mg/kg (20% inhibition) and indomethacin at 0.5 mg/kg (0% inhibition) caused a significant 41% redn. of paw edema (carrageenan-induced rat paw edema model), suggesting that this combination results in greater efficacy than either agent alone. This combination did not cause a further redn. in myeloperoxidase activity in the hindpaw compared to II alone (67% inhibition for II; indomethacin = 58% inhibition; combination = 55% inhibition). The combination of suboptimal doses of II at 1 mg/kg and betamethasone at 0.05 mg/kg (32% inhibition) also demonstrated greater efficacy in inhibiting edema (61% inhibition). An additive inhibition of paw PGE2 levels was also obsd. (31% inhibition by either betamethasone or II alone, vs. 78% inhibition with the combination). Analogous tests were also done with the Streptococcal cell wall-induced mouse knee swelling model. Although the methods of prepn. are not claimed, .apprx.50 pages of prepns. and characterization data are included.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 6 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 137:154857 CA
TITLE: Preparation of nicotinamide biaryl derivatives as inhibitors of PDE4 isozymes
INVENTOR(S): Chambers, Robert James; Magee, Thomas Victor; Marfat, Anthony
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 224 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060875	A1	20020808	WO 2001-IB2341	20011206
WO 2002060875	C1	20030731		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2436535	AA	20020808	CA 2001-2436535	20011206
EP 1355884	A1	20031029	EP 2001-273556	20011206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300360	A	20031215	EE 2003-360	20011206
BR 2001016852	A	20040225	BR 2001-16852	20011206
JP 2004520386	T2	20040708	JP 2002-561026	20011206
NZ 526453	A	20050128	NZ 2001-526453	20011206
US 2002193612	A1	20021219	US 2002-62813	20020131
US 6649633	B2	20031118		
ZA 2003004894	A	20040624	ZA 2003-4894	20030624
US 2004048903	A1	20040311	US 2003-613988	20030702
BG 108038	A	20040730	BG 2003-108038	20030728
NO 2003003397	A	20030919	NO 2003-3397	20030730
PRIORITY APPLN. INFO.:			US 2001-265492P	P 20010131
			WO 2001-IB2341	W 20011206
			US 2002-62813	A3 20020131

OTHER SOURCE(S): MARPAT 137:154857
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

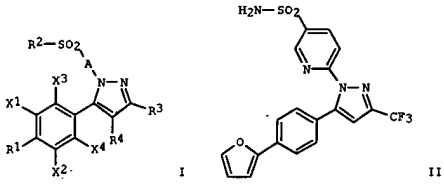
AB The title compds. [I; g = 0-1; j = 0-1; provided that when j = 0, n must be 2; k = 0-1; m = 0-2; n = 1-2; W1 = O, SOt (t = 0-2), NR3; W2 = OCR9R10, or absent; Y = CR1, NOk (k = 0-1); R9, R10 = H, F, CF3, etc.; or R9 and R10 are taken together, but only in the case where m = 1, to form a spiro moiety; R7, R8 have the same meaning as R9, R10 except that one of them must be H; R1, R2 = H, F, Cl, etc.; R3 = H, alkyl, Ph, etc.; R4-R6 = H, F, Cl, etc.; Q1 = Ph, benzodioxyl, etc.; Q2 = biaryl moiety], useful as inhibitors of PDE4 in the treatment of diseases regulated by the activation and degranulation of eosinophils, esp. asthma, chronic bronchitis, and chronic obstructive pulmonary disease, were prepd. E.g., a multi-step synthesis of the amide II, starting from Me 3-bromobenzoate and 4-formylbenzeneboronic acid, was given. Compds. I showed anti-inflammatory activity at 0.0001 μM to 20.0 μM in whole blood assay for LTE4.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 6 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 135:33472 CA
TITLE: Preparation of sulfamoylheteroaryl pyrazole compounds as anti-inflammatory and analgesic agents
INVENTOR(S): Ando, Kazuo; Kawamura, Kiyoshi
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 71 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1104760	A1	20010606	EP 2000-310441	20001124
EP 1104760	B1	20030312		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 234299	E	20030315	AT 2000-310441	20001124
ES 2193921	T3	20031116	ES 2000-310441	20001124
US 6603008	B1	20030805	US 2000-723661	20001128
CA 2327385	AA	20010603	CA 2000-2327385	20001201
JP 2001163883	A2	20010619	JP 2000-366780	20001201
JP 3338027	B2	20021028		
JP 2002284682	A2	20021003	JP 2002-22643	20001201
BR 2000005703	A	20010731	BR 2000-5703	20001204
US 2003144280	A1	20030731	US 2002-334329	20021231
PRIORITY APPLN. INFO.:			US 1999-168889P	P 19991203
			US 2000-723661	A3 20001128
			JP 2000-366780	A3 20001201

OTHER SOURCE(S): MARPAT 135:33472
GI


I

II

AB Title compds. (I) [wherein A and R1 = independently (un)substituted 5-6 membered heteroaryl ring which may be fused to a 5-7 membered carbocyclic or 5-6 membered heteroaryl ring; R2 = NH2; R3 and R4 = independently H, halo, CN, NO2, CO2H, CONH2, or (un)substituted alkyl, alkenyl, alkoxy, alkylcarbonyl, alkoxycarbonyl, (di)alkylaminocarbonyl, or N-alkyl-N-(hetero)arylaminocarbonyl; X1, X2, X3, and X4 = independently H, halo, OH, CN, SH, CO2H, NO2, CONH2, or (un)substituted alkyl(thio), alkoxy, (di)alkylamino, alkylcarbonyl, or alkoxycarbonyl] were prepd. as cyclooxygenase-2 (COX-2) inhibitors. For example, 6-chloro-3-pyridinesulfonamide was treated with anhyd. hydrazine and dissolved in 10% methanolic HCl to give the 6-hydrazino dihydrochloride (84.5%). Refluxing furan-2-boronic acid, Pd(PPh3)2Cl2, and satd. NaHCO3 soln. with 4,4,4-trifluoro-1-(4-bromophenyl)butane-1,3-dione for 5 h gave the 2-furylphenyl deriv. (61.2%). Heating the hydrazine with the butanedione to reflux temp. for 18 h afforded the cycloaddn. product (II) in 11.5% yield. In either canine or human in vitro COX-2 assays, I inhibited COX-2 with IC50 values of 0.001 μM to 3 μM. I are useful in the treatment of pain, inflammation, and other diseases and conditions mediated by COX-2.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 6 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 130:10625 CA
TITLE: COX-2-selective carprofen and related compounds for treating pain and inflammation in dogs
INVENTOR(S): Lundy, Kristin Marie; Ricketts, Anthony Paul
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9850033	A1	19981112	WO 1998-IB662	19980501
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
TW 590773	B	20040611	TW 1998-87106689	19980430
CA 2288759	AA	19981112	CA 1998-2288759	19980501
AU 9869321	A1	19981127	AU 1998-69321	19980501
EP 988034	A1	20000329	EP 1998-915041	19980501
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9808720	A	20000711	BR 1998-8720	19980501
JP 2000513020	T2	20001003	JP 1998-547869	19980501
NZ 500183	A	20020426	NZ 1998-500183	19980501
NZ 516914	A	20030829	NZ 1998-516914	19980501
ZA 9803722	A	19991104	ZA 1998-3722	19980504
MX 9910148	A	20000228	MX 1999-10148	19991104
AU 773615	B2	20040527	AU 2002-38232	20020508
AU 2002038232	A5	20020620		
US 2003212123	A1	20031113	US 2003-422220	20030424
PRIORITY APPLN. INFO.:			US 1997-45635P	P 19970505
			NZ 1998-500183	A1 19980501
			WO 1998-IB662	W 19980501
			US 1999-308955	A3 19990527

OTHER SOURCE(S): MARPAT 130:10625
AB The invention relates to treating or preventing inflammatory processes and diseases in dogs associated with the activity of inducible cyclooxygenase-2 (COX-2), while at the same time reducing or eliminating undesirable side effects associated with simultaneous inhibition of the activity of constitutive cyclooxygenase-1 (COX-1) by selectively inhibiting COX-2 activity with reference to COX-1 activity, wherein the selectivity ratio or COX-2:COX-1 activity inhibition is at least 3:1 based on ex vivo inhibition levels measured in whole blood. The inhibitor is a member selected from the group of antiinflammatory compds. consisting essentially of salicylic acid derivs., p-aminophenol derivs., indole and indene acetic acids, heteroaryl acetic acids, arylpropionic acids, anthranilic acids, enolic acids, and alkanones; the inhibitor in particular is comprised of the (+)(S)-enantiomer of 6-chloro-α-methyl-9H-carbazole-2-acetic acid.
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

L5 ANSWER 6 OF 6 CA COPYRIGHT 2005 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/098,644

=> d his

(FILE 'HOME' ENTERED AT 09:15:02 ON 12 MAY 2005)

FILE 'REGISTRY' ENTERED AT 09:15:09 ON 12 MAY 2005
L1 1611 S CYCLOSPORIN

FILE 'CA' ENTERED AT 09:16:55 ON 12 MAY 2005
L2 7696 S COX-2
L3 15626 S LIPOXYGENASE
L4 19125 S L1 OR CYCLOSPORIN
L5 6 S L2 AND L3 AND L4

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 09:18:33 ON 12 MAY 2005

```
=> s cyclosporin
L1         1611 CYCLOSPORIN

=>
```